

3-Trifluoromethyl picolinic acid anilides, and use thereof as fungicides

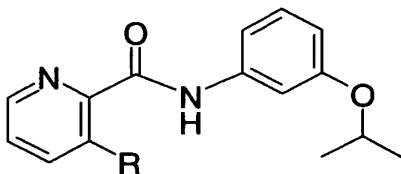
The present invention relates to 3-trifluoromethylpicolinic acid anilides having fungicidal activity and to their use as fungicides, i.e. for controlling harmful fungi.

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Hetarylanilides having fungicidal activity are the subject of numerous patent applications (see, for example, WO 86/02641, JP 01313402, US 4,877,441, EP 371950, WO 93/11117, EP 545099, DE 4204766, DE 4204768, EP 591699, EP 589301, JP 07145156, JP 08092223, WO 97/08148, WO 98/03500, EP 824099, 10 EP 846416, WO 00/09482, WO 01/42223, EP 1110454, EP 1110956, WO 01/49664, JP 2001-302605, WO 02/08195, WO 02/08197, WO 02/38542, WO 02/59086, WO 02/064562, WO 03/010149, WO 03/66609, WO 03/66610, WO 03/69995, WO 03/74491 and WO 03/70705). However, in particular at low application rates, the hetarylanilides described in these publications are not entirely satisfactory.

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JP 58096069 discloses fungicidally effective picolinic acid anilides of the formula



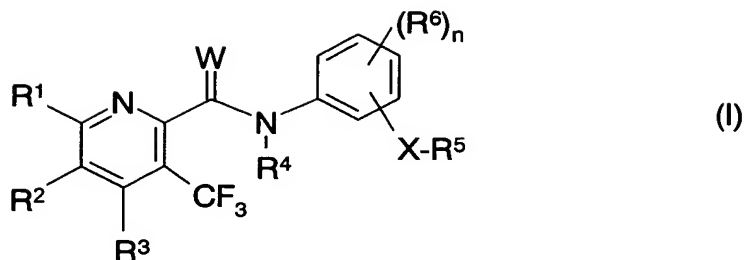
20 in which R is CH₃ or CF₃. However, the fungicidal activity of these compounds is unsatisfactory.

It is an object of the present invention to provide further fungicidally active compounds which overcome the disadvantages of the compounds known from the prior art and, in 25 particular, have improved action at low application rates. Moreover, these compounds should have good compatibility with useful plants and, if possible, cause little, if any, harm to useful animals.

We have found that this object is achieved by the 3-trifluoromethylpicolinic acid anilides 30 of the formula I described below and by their agriculturally acceptable salts.

Accordingly, the present invention relates to 3-trifluoromethylpicolinic acid anilides of the formula I,

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in which n is 0, 1, 2, 3 or 4 and the substituents are as defined below:

- 5 X is O, S or a direct bond;
 W is O or S;
 R¹, R², R³ independently of one another are hydrogen, halogen, nitro, CN, C₁-C₄-alkyl, C₃-C₆-cycloalkyl, C₂-C₄-alkenyl, C₂-C₄-alkynyl, C₁-C₄-alkoxy, where some or all of the hydrogen atoms in the 4 lastmentioned groups may be substituted by halogen;
- 10 R⁴ is hydrogen, OH, C₁-C₄-alkyl, C₃-C₆-cycloalkyl, C₁-C₄-alkoxy, where some or all of the hydrogen atoms in the 3 lastmentioned groups may be substituted by halogen;
- R⁵ is unsubstituted C₄-C₁₂-alkyl, C₃-C₁₂-cycloalkyl, C₃-C₁₂-alkenyl, C₅-C₁₂-cycloalkenyl, C₃-C₁₂-alkynyl, C₃-C₁₂-cycloalkyl-C₁-C₄-alkyl, where the 5 lastmentioned groups may in each case have 1, 2 or 3 substituents R⁹, and where some or all of the hydrogen atoms in the 5 lastmentioned groups may be substituted by halogen;
- 15 C₁-C₁₂-haloalkyl, C₁-C₁₂-alkyl which has 1, 2 or 3 substituents R¹¹, a group -C(R¹⁰)=NOR⁸, a group -C(O)NR¹³R¹⁴;
- 20 phenyl, phenyl-C₁-C₆-alkyl, phenyl-C₂-C₆-alkenyl, phenyl-C₂-C₆-alkynyl, phenyloxy-C₁-C₆-alkyl, phenyloxy-C₂-C₆-alkenyl, phenyloxy-C₂-C₆-alkynyl, where the alkyl, alkenyl- and the alkynyl moiety in the 6 lastmentioned groups may have 1, 2, 3 or 4 substituents R¹¹ and the phenyl ring in the 7 lastmentioned groups may carry 1, 2, 3 or 4 radicals R⁷;
- 25 R⁶ has the meanings mentioned for R¹ which are different from hydrogen;
- R⁷ is C₁-C₄-alkyl, C₃-C₆-cycloalkyl, C₁-C₄-alkoxy, C₂-C₄-alkenyl, C₂-C₄-alkenyloxy, C₂-C₄-alkynyl, C₂-C₄-alkynyloxy, where some or all of the hydrogen atoms in these 7 groups may be substituted by halogen, is OH, halogen, nitro, CN, C₁-C₄-alkylthio, C₁-C₄-alkylsulfonyl, -C(O)R¹², NR¹³R¹⁴, -C(O)NR¹³R¹⁴, -C(S)NR¹³R¹⁴, -C(R¹⁰)=NOR⁸, phenyl, which may have 1, 2, 3 or 4 of the groups mentioned under R⁶, phenoxy, which may have 1, 2, 3 or 4 of the groups mentioned under R⁶, C₁-C₆-alkyl-phenyl, where some or all of the hydrogen atoms of the alkyl moiety may be substituted by halogen and the phenyl ring may have 1, 2, 3 or 4 of the groups mentioned under R⁶, where two radicals R⁷ attached to adjacent carbon atoms may also be CH=CH-CH=CH or an alkylene chain having 3 to 5 members in which 1 or
- 30 CH=CH-CH=CH or an alkylene chain having 3 to 5 members in which 1 or
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- 2 not adjacent CH₂ groups may also be replaced by oxygen or sulfur and in which some or all of the hydrogen atoms may be replaced by halogen;
- 5 **R⁸** is C₁-C₄-alkyl, C₃-C₆-cycloalkyl, C₂-C₄-alkenyl, C₂-C₄-alkynyl, where some or all of the hydrogen atoms in these 4 groups may be substituted by halogen, phenyl or phenyl-C₁-C₆-alkyl, where phenyl in the two lastmentioned radicals may have 1, 2, 3 or 4 of the groups mentioned under R⁶;
- 10 **R⁹** is C₁-C₄-alkyl, C₁-C₈-alkoxy, C₂-C₈-alkenyloxy, C₂-C₈-alkynyloxy, C₁-C₄-alkoxy-C₁-C₈-alkoxy, where some or all of the hydrogen atoms in these groups may be substituted by halogen;
- 15 **R¹⁰** is hydrogen, halogen, C₁-C₈-alkoxy, C₂-C₈-alkenyloxy, C₂-C₈-alkynyloxy, C₁-C₄-alkoxy-C₁-C₈-alkoxy, C₁-C₁₂-alkyl, C₃-C₁₂-cycloalkyl, C₂-C₁₂-alkenyl, C₅-C₁₂-cycloalkenyl, C₃-C₁₂-cycloalkyl-C₁-C₄-alkyl, where some or all of the hydrogen atoms in the 9 lastmentioned groups may be substituted by halogen;
- 20 **R¹¹** is phenyl which may have 1, 2, 3 or 4 of the groups mentioned under R⁷, is halogen, C₁-C₄-alkyl, C₁-C₈-alkoxy, C₁-C₈-alkoxy-C₁-C₈-alkoxy, C₂-C₈-alkenyloxy, C₂-C₈-alkynyloxy, C₁-C₄-alkoxy-C₁-C₈-alkoxy, where some or all of the hydrogen atoms in these groups may be substituted by halogen;
- 25 **R¹²** is hydrogen, OH, C₁-C₄-alkyl, C₁-C₄-alkoxy, C₂-C₄-alkenyl, C₂-C₄-alkynyl, C₂-C₄-alkenyloxy, C₂-C₄-alkynyloxy, C₁-C₄-alkoxy-C₁-C₄-alkoxy, where some or all of the hydrogen atoms in the 7 lastmentioned groups may be substituted by halogen;
- R¹³, R¹⁴** independently of one another are hydrogen, C₁-C₄-alkyl, C₂-C₄-alkenyl, C₂-C₄-alkynyl, where some or all of the hydrogen atoms in these groups may be substituted by halogen;

and to the agriculturally useful salts of I.

- 30 Moreover, the present invention relates to the use of the 3-trifluoromethylpicolinic acid anilides of the formula I and their agriculturally acceptable salts as fungicides, and to crop protection compositions comprising these compounds.

- 35 Furthermore, the present invention relates to a method for controlling phytopathogenic fungi (harmful fungi), which method comprises treating the harmful fungi, their habitat or the plants, areas, materials or spaces to be kept free from them with a fungicidally effective amount of a 3-trifluoromethylpicolinic acid anilide of the formula I and/or an agriculturally acceptable salt of I.

- 40 Depending on the nature of the substituents, the 3-trifluoromethylpicolinic acid anilides of the formula I may have one or more centers of chirality, in which case they are

present as mixtures of enantiomers or diastereomers. The invention provides both the pure enantiomers or diastereomers and their mixtures. Suitable compounds of the formula I also comprise all possible stereoisomers (cis/trans isomers) and mixtures thereof.

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Suitable agriculturally useful salts are especially the salts of those cations or the acid addition salts of those acids whose cations and anions, respectively, have no adverse effect on the fungicidal action of the compounds I. Thus, suitable cations are in particular the ions of the alkali metals, preferably sodium and potassium, the alkaline earth metals, preferably calcium, magnesium and barium, and the transition metals, preferably manganese, copper, zinc and iron, and also the ammonium ion which, if desired, may carry one to four C₁-C₄-alkyl substituents and/or one phenyl or benzyl substituent, preferably diisopropylammonium, tetramethylammonium, tetrabutylammonium, trimethylbenzylammonium, furthermore phosphonium ions, sulfonium ions, preferably tri(C₁-C₄-alkyl)sulfonium, and sulfoxonium ions, preferably tri(C₁-C₄-alkyl)sulfoxonium.

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Anions of useful acid addition salts are primarily chloride, bromide, fluoride, hydrogen-sulfate, sulfate, dihydrogenphosphate, hydrogenphosphate, phosphate, nitrate, bicarbonate, carbonate, hexafluorosilicate, hexafluorophosphate, benzoate, and the anions of C₁-C₄-alkanoic acids, preferably formate, acetate, propionate and butyrate. They can be formed by reacting I with an acid of the corresponding anion, preferably hydrochloric acid, hydrobromic acid, sulfuric acid, phosphoric acid or nitric acid.

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In the definitions of the variables given the formulae above, collective terms are used which are generally representative for the substituents in question. The term C_n-C_m indicates the number of carbon atoms possible in each case in the substituent or substituent moiety in question. All hydrocarbon chains, i.e. all alkyl, haloalkyl, phenylalkyl, alkenyl, haloalkenyl, phenylalkenyl, alkynyl, haloalkynyl and phenylalkynyl moieties can be straight-chain or branched. Halogenated substituents preferably carry one to five identical or different halogen atoms. The term halogen denotes in each case fluorine, chlorine, bromine or iodine.

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Examples of other meanings are:

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- C₁-C₄-alkyl and the alkyl moieties in alkoxyalkyl, alkylsulfonyl and alkylthio: CH₃, C₂H₅, CH₂-C₂H₅, CH(CH₃)₂, n-butyl, CH(CH₃)-C₂H₅, CH₂-CH(CH₃)₂ or C(CH₃)₃;

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- C₁-C₄-haloalkyl: a C₁-C₄-alkyl radical as mentioned above in which some, for example 1, 2 or 3, or all of the hydrogen atoms are substituted by halogen, such

- as fluorine, chlorine, bromine and/or iodine, in particular by chlorine and/or fluorine and especially by fluorine, i.e., for example, CH_2F , CHF_2 , CF_3 , CH_2Cl , $\text{CH}(\text{Cl})_2$, $\text{C}(\text{Cl})_3$, chlorofluoromethyl, dichlorofluoromethyl, chlorodifluoromethyl, 2-fluoroethyl, 2-chloroethyl, 2-bromoethyl, 2-iodoethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-chloro-2-fluoroethyl, 2-chloro-2,2-difluoroethyl, 2,2-dichloro-2-fluoroethyl, 2,2,2-trichloroethyl, C_2F_5 , 2-fluoropropyl, 3-fluoropropyl, 2,2-difluoropropyl, 2,3-difluoropropyl, 2-chloropropyl, 3-chloropropyl, 2,3-dichloropropyl, 2-bromopropyl, 3-bromopropyl, 3,3,3-trifluoropropyl, 3,3,3-trichloropropyl, $\text{CH}_2\text{-C}_2\text{F}_5$, $\text{CF}_2\text{-C}_2\text{F}_5$, 1-(fluoromethyl)-2-fluoroethyl, 1-(chloromethyl)-2-chloroethyl, 1-(bromomethyl)-2-bromoethyl, 4-fluorobutyl, 4-chlorobutyl, 4-bromobutyl or nonafluorobutyl;
- $\text{C}_1\text{-C}_{12}$ -alkyl: a saturated hydrocarbon radical having 1 to 12 carbon atoms, for example a $\text{C}_1\text{-C}_4$ -alkyl radical as mentioned above, or, for example, n-pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 2,2-dimethylpropyl, 1-ethylpropyl, n-hexyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl, 2-ethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 1-ethyl-1-methylpropyl or 1-ethyl-2-methylpropyl, preferably CH_3 , C_2H_5 , $\text{CH}_2\text{-C}_2\text{H}_5$, $\text{CH}(\text{CH}_3)_2$, n-butyl, $\text{C}(\text{CH}_3)_3$, n-pentyl, n-hexyl, n-heptyl or n-octyl;
 - $\text{C}_1\text{-C}_{12}$ -haloalkyl: a $\text{C}_1\text{-C}_{12}$ -alkyl radical as mentioned above in which some, for example 1, 2 or 3, or all of the hydrogen atoms are substituted by halogen, in particular by chlorine and/or fluorine and especially by fluorine, i.e. for example, one of the radicals mentioned under $\text{C}_1\text{-C}_4$ -haloalkyl or 5-fluoro-1-pentyl, 5-chloro-1-pentyl, 5-bromo-1-pentyl, 5-iodo-1-pentyl, 5,5,5-trichloro-1-pentyl, undecafluoropentyl, 6-fluoro-1-hexyl, 6-chloro-1-hexyl, 6-bromo-1-hexyl, 6-iodo-1-hexyl, 6,6,6-trichloro-1-hexyl or tridecafluorohexyl;
 - $\text{C}_2\text{-C}_4$ -alkenyl and the alkenyl moieties in alkenyloxy: unsaturated straight-chain or branched hydrocarbon radicals having 2 to 4 carbon atoms and a double bond in any position, for example ethenyl, 1-propenyl, 2-propenyl, 1-methylethenyl, 1-buten-1-yl, 1-buten-2-yl, 1-buten-3-yl, 2-buten-1-yl, 1-methylprop-1-en-1-yl, 2-methylprop-1-en-1-yl, 1-methylprop-2-en-1-yl, 2-methylprop-2-en-1-yl;
 - $\text{C}_2\text{-C}_{12}$ -alkenyl: unsaturated straight-chain or branched hydrocarbon radicals having 2 to 12 carbon atoms and a double bond in any position, for example $\text{C}_2\text{-C}_4$ -alkenyl as mentioned above, and also, for example: n-penten-1-yl, n-penten-2-yl, n-penten-3-yl, n-penten-4-yl, 1-methylbut-1-en-1-yl, 2-methylbut-1-en-1-yl, 3-methylbut-1-en-1-yl, 1-methylbut-2-en-1-yl,

- 2-methylbut-2-en-1-yl, 3-methylbut-2-en-1-yl, 1-methylbut-3-en-1-yl,
 2-methylbut-3-en-1-yl, 3-methylbut-3-en-1-yl, 1,1-dimethylprop-2-en-1-yl,
 1,2-dimethylprop-1-en-1-yl, 1,2-dimethylprop-2-en-1-yl, 1-ethylprop-1-en-2-yl,
 1-ethylprop-2-en-1-yl, n-hex-1-en-1-yl, n-hex-2-en-1-yl, n-hex-3-en-1-yl,
 5 n-hex-4-en-1-yl, n-hex-5-en-1-yl, 1-methylpent-1-en-1-yl, 2-methylpent-1-en-1-yl,
 3-methylpent-1-en-1-yl, 4-methylpent-1-en-1-yl, 1-methylpent-2-en-1-yl,
 2-methylpent-2-en-1-yl, 3-methylpent-2-en-1-yl, 4-methylpent-2-en-1-yl,
 1-methylpent-3-en-1-yl, 2-methylpent-3-en-1-yl, 3-methylpent-3-en-1-yl,
 4-methylpent-3-en-1-yl, 1-methylpent-4-en-1-yl, 2-methylpent-4-en-1-yl,
 10 3-methylpent-4-en-1-yl, 4-methylpent-4-en-1-yl, 1,1-dimethylbut-2-en-1-yl,
 1,1-dimethylbut-3-en-1-yl, 1,2-dimethylbut-1-en-1-yl, 1,2-dimethylbut-2-en-1-yl,
 1,2-dimethylbut-3-en-1-yl, 1,3-dimethylbut-1-en-1-yl, 1,3-dimethylbut-2-en-1-yl,
 1,3-dimethylbut-3-en-1-yl, 2,2-dimethylbut-3-en-1-yl, 2,3-dimethylbut-1-en-1-yl,
 2,3-dimethylbut-2-en-1-yl, 2,3-dimethylbut-3-en-1-yl, 3,3-dimethylbut-1-en-1-yl,
 15 3,3-dimethylbut-2-en-1-yl, 1-ethylbut-1-en-1-yl, 1-ethylbut-2-en-1-yl,
 1-ethylbut-3-en-1-yl, 2-ethylbut-1-en-1-yl, 2-ethylbut-2-en-1-yl,
 2-ethylbut-3-en-1-yl, 1,1,2-trimethylprop-2-en-1-yl,
 1-ethyl-1-methylprop-2-en-1-yl, 1-ethyl-2-methylprop-1-en-1-yl or
 1-ethyl-2-methylprop-2-en-1-yl;
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- C₂-C₄-alkynyl and the alkynyl moieties in alkynyloxy: straight-chain or branched hydrocarbon groups having 2 to 4 carbon atoms and a triple bond in any position, for example ethynyl, 1-propynyl, 2-propynyl (= propargyl), 1-butylnyl, 2-butylnyl, 3-butylnyl and 1-methyl-2-propynyl;
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- C₂-C₁₂-alkynyl: straight-chain or branched hydrocarbon groups having 2 to 12 carbon atoms and a triple bond in any position, for example ethynyl, prop-1-yn-1-yl, prop-2-yn-1-yl, n-but-1-yn-1-yl, n-but-1-yn-3-yl, n-but-1-yn-4-yl, n-but-2-yn-1-yl, n-pent-1-yn-1-yl, n-pent-1-yn-3-yl, n-pent-1-yn-4-yl, n-pent-1-yn-5-yl,
 30 n-pent-2-yn-1-yl, n-pent-2-yn-4-yl, n-pent-2-yn-5-yl, 3-methylbut-1-yn-3-yl,
 3-methylbut-1-yn-4-yl, n-hex-1-yn-1-yl, n-hex-1-yn-3-yl, n-hex-1-yn-4-yl,
 n-hex-1-yn-5-yl, n-hex-1-yn-6-yl, n-hex-2-yn-1-yl, n-hex-2-yn-4-yl, n-hex-2-yn-5-yl, n-hex-2-yn-6-yl, n-hex-3-yn-1-yl, n-hex-3-yn-2-yl, 3-methylpent-1-yn-1-yl,
 3-methylpent-1-yn-3-yl, 3-methylpent-1-yn-4-yl, 3-methylpent-1-yn-5-yl,
 35 4-methylpent-1-yn-1-yl, 4-methylpent-2-yn-4-yl and 4-methylpent-2-yn-5-yl;
- C₁-C₄-alkoxy: OCH₃, OC₂H₅, OCH₂-C₂H₅, OCH(CH₃)₂, n-butoxy, OCH(CH₃)-C₂H₅, OCH₂-CH(CH₃)₂ or OC(CH₃)₃;
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- C₁-C₄-haloalkoxy: a C₁-C₄-alkoxy radical as mentioned above, in which some, for example 1, 2 or 3, or all hydrogen atoms are substituted by halogen, in particular

- by chlorine and/or fluorine and especially by fluorine, i.e., for example, OCH_2F , OCHF_2 , OCF_3 , OCH_2Cl , $\text{OCH}(\text{Cl})_2$, $\text{OC}(\text{Cl})_3$, chlorofluoromethoxy, dichlorofluoromethoxy, chlorodifluoromethoxy, 2-fluoroethoxy, 2-chloroethoxy, 2-bromoethoxy, 2-iodoethoxy, 2,2-difluoroethoxy, 2,2,2-trifluoroethoxy, 2-chloro-2-fluoroethoxy, 2-chloro-2,2-difluoroethoxy, 2,2-dichloro-2-fluoroethoxy, 2,2,2-trichloroethoxy, OC_2F_5 , 2-fluoropropoxy, 3-fluoropropoxy, 2,2-difluoropropoxy, 2,3-difluoropropoxy, 2-chloropropoxy, 3-chloropropoxy, 2,3-dichloropropoxy, 2-bromopropoxy, 3-bromopropoxy, 3,3,3-trifluoropropoxy, 3,3,3-trichloropropoxy, $\text{OCH}_2\text{-C}_2\text{F}_5$, $\text{OCF}_2\text{-C}_2\text{F}_5$, 1-(CH_2F)-2-fluoroethoxy, 1-(CH_2Cl)-2-chloroethoxy, 1-(CH_2Br)-2-bromoethoxy, 4-fluorobutoxy, 4-chlorobutoxy, 4-bromobutoxy or nonafluorobutoxy, preferably OCHF_2 , OCF_3 , dichlorofluoromethoxy, chlorodifluoromethoxy or 2,2,2-trifluoroethoxy;
- $\text{C}_3\text{-C}_6\text{-cycloalkyl}$: cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl;
 - $\text{C}_3\text{-C}_{12}\text{-cycloalkyl}$: a mono-, bi or tricyclic hydrocarbon radical, for example a $\text{C}_3\text{-C}_6\text{-cycloalkyl}$ radical as mentioned above or cycloheptyl, cyclooctyl, bicyclo[2.2.1]heptyl, bicyclo[2.2.2]octyl, bicyclo[3.2.1]octyl, bicyclo[3.3.0]octyl, bicyclo[4.3.0]nonyl, bicyclo[4.4.0]decyl or adamantyl;
 - $\text{C}_3\text{-C}_{12}\text{-cycloalkyl-C}_1\text{-C}_4\text{-alkyl}$: $\text{C}_1\text{-C}_4\text{-alkyl}$ which is substituted by $\text{C}_3\text{-C}_{12}\text{-cycloalkyl}$, for example cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl, cyclohexylmethyl, cycloheptylmethyl, cyclooctylmethyl, 2-(cyclopropyl)ethyl, 2-(cyclobutyl)ethyl, 2-(cyclopentyl)ethyl, 2-(cyclohexyl)ethyl, 2-(cycloheptyl)ethyl, 2-(cyclooctyl)ethyl, 3-(cyclopropyl)propyl, 3-(cyclobutyl)propyl, 3-(cyclopentyl)propyl, 3-(cyclohexyl)propyl, 3-(cycloheptyl)propyl, 3-(cyclooctyl)propyl, 4-(cyclopropyl)butyl, 4-(cyclobutyl)butyl, 4-(cyclopentyl)butyl, 4-(cyclohexyl)butyl, 4-(cycloheptyl)butyl, 4-(cyclooctyl)butyl;
 - $\text{C}_1\text{-C}_4\text{-alkoxy-C}_1\text{-C}_4\text{-alkyl}$: $\text{C}_1\text{-C}_4\text{-alkyl}$ which is substituted by $\text{C}_1\text{-C}_4\text{-alkoxy}$, for example methoxymethyl, ethoxymethyl, 1- or 2-methoxyethyl, 1- or 2-ethoxyethyl, 1-, 2- or 3-methoxypropyl;
 - $\text{C}_1\text{-C}_4\text{-alkoxy-C}_1\text{-C}_4\text{-alkoxy}$: $\text{C}_1\text{-C}_4\text{-alkoxy}$ which is substituted by $\text{C}_1\text{-C}_4\text{-alkoxy}$, for example methoxymethoxy, ethoxymethoxy, 1- or 2-methoxyethoxy, 1- or 2-ethoxyethoxy, 1-, 2- or 3-methoxypropoxy;
 - phenyl- $\text{C}_1\text{-C}_6\text{-alkyl}$: $\text{C}_1\text{-C}_6\text{-alkyl}$ which is substituted by phenyl, for example benzyl, 1- or 2-phenylethyl, 1-, 2- or 3-phenylpropyl;

- phenyloxy-C₁-C₆-alkyl: C₁-C₆-alkyl which is substituted by phenoxy, for example phenoxymethyl, 1- or 2-phenoxyethyl, 1-, 2- or 3-phenoxypropyl;
- 5 - phenyl-C₂-C₆-alkenyl: C₂-C₆-alkenyl which is substituted by phenyl, for example 1- or 2-phenylethenyl, 1-phenylprop-2-en-1-yl, 3-phenyl-1-propen-1-yl, 3-phenyl-2-propen-1-yl, 4-phenyl-1-buten-1-yl or 4-phenyl-2-buten-1-yl;
- 10 - phenyloxy-C₂-C₆-alkenyl: C₂-C₆-alkenyl which is substituted by phenoxy, for example 1- or 2-phenyloxyethenyl, 1-phenyloxyprop-2-en-1-yl, 3-phenyloxy-1-propen-1-yl, 3-phenyloxy-2-propen-1-yl, 4-phenyloxy-1-buten-1-yl or 4-phenyloxy-2-buten-1-yl;
- 15 - phenyl-C₂-C₆-alkynyl: C₂-C₆-alkynyl which is substituted by phenyl, for example 1-phenylprop-2-yn-1-yl, 3-phenyl-1-propyn-1-yl, 3-phenyl-2-propyn-1-yl, 4-phenyl-1-butyne-1-yl or 4-phenyl-2-butyne-1-yl;
- phenyloxy-C₂-C₆-alkynyl: C₂-C₆-alkynyl which is substituted by phenoxy, for example 1-phenyloxyprop-2-yn-1-yl, 3-phenyloxy-1-propyn-1-yl, 3-phenyloxy-2-propyn-1-yl, 4-phenyloxy-1-butyne-1-yl or 4-phenyloxy-2-butyne-1-yl.

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With a view to the fungicidal activity, preference is given to anilides of the formula I in which the variables R¹ to R⁶ independently of one another and in particular in combination have the following meanings:

- 25 R¹, R², R³ independently of one another are hydrogen, halogen, C₁-C₄-alkyl or C₁-C₄-haloalkyl. In particular, 1, 2 or, preferably, all radicals R¹, R² and R³ are hydrogen;

- 30 R⁴ is hydrogen, methyl, OH or methoxy, in particular hydrogen;

- R⁵ has one of the meanings below:

- 35 - unsubstituted C₄-C₁₂-alkyl, C₃-C₁₂-cycloalkyl, C₂-C₁₂-alkenyl, C₅-C₁₂-cycloalkenyl, C₂-C₁₂-alkynyl, where some or all of the hydrogen atoms in the four lastmentioned groups may be substituted by halogen and some or all of the hydrogen atoms in C₃-C₁₂-cycloalkyl may be substituted by C₁-C₄-alkyl;
- 40 - C₁-C₁₂-haloalkyl, in particular C₁-C₄-fluoroalkyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, C₁-C₄-haloalkoxy-C₁-C₄-alkyl, in particular C₁-C₄-fluoroalkoxy-C₁-C₄-alkyl;

- phenyl, phenyl-C₁-C₆-alkyl, where the phenyl ring may be substituted by 1, 2, 3 or 4 radicals R⁷ and has in particular 0, 1, 2 or 3 radicals R⁷; or

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- -C(C₁-C₄-alkyl)=NO-R⁸, where some, for example 1, 2 or 3, or all of the hydrogen atoms of the C₁-C₄-alkyl group may be substituted by halogen; and

- 10 R⁶ independently of one another: C₁-C₄-alkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkyl, C₁-C₄-haloalkoxy, or halogen, especially fluorine, chlorine, methyl, trifluoromethyl, methoxy, trifluoromethoxy or difluoromethyl.

- 15 The variable R⁷ is in particular selected from the group consisting of C₁-C₄-alkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkyl, C₁-C₄-haloalkoxy, nitro, CN and halogen, especially fluorine, chlorine, methyl, trifluoromethyl, methoxy, trifluoromethoxy or difluoromethyl.

- 20 The variable R⁸ is preferably selected from the group consisting of C₁-C₄-alkyl, C₃-C₆-cycloalkyl, C₁-C₄-alkoxy, C₂-C₄-alkenyl, C₂-C₄-alkynyl, where some or all of the hydrogen atoms in these 5 groups may be substituted by halogen, halogen, nitro, CN, phenyl which may have 1, 2, 3 or 4 of the groups mentioned under R⁶, phenoxy which may have 1, 2, 3 or 4 of the groups mentioned under R⁶, C₁-C₆-alkyl-phenyl, where some or all of the hydrogen atoms of the alkyl moiety may be substituted by halogen and the phenyl ring may have 1, 2, 3 or 4 of the groups mentioned under R⁶, in
- 25 particular from the group consisting of C₁-C₄-alkyl, C₃-C₆-cycloalkyl, C₂-C₄-alkenyl, C₂-C₄-alkynyl, where some or all of the hydrogen atoms in these 4 groups may be substituted by halogen, and phenyl and benzyl, where phenyl in the two lastmentioned radicals may have 1, 2 or 3 of the groups mentioned under R⁶.

- 30 With a view to their fungicidal activity, preference is given to those anilides of the formula I in which n = 0.

The variable W is in particular oxygen.

- 35 With a view to the fungicidal activity of the anilides I, X in formula I is a direct bond or oxygen. In a first particularly preferred embodiment of the invention, X is oxygen. In another particularly preferred embodiment, X is a direct bond. If R⁵ is unsubstituted alkyl, X is in particular a direct bond.

- 40 With a view to their fungicidal activity, preference is given to those anilides of the formula I in which the group X-R⁵ is attached in the ortho or meta position and in

particular in the ortho position to the amide nitrogen. Among these, particular preference is given to those anilides of the formula I in which R^1 , R^2 and R^3 are each hydrogen, specifically to those where $n = 0$. Amongst these, particular preference is given to those anilides in which R^5 has one of the meanings below:

5

- unsubstituted C_4 - C_{12} -alkyl, C_3 - C_{12} -cycloalkyl, C_2 - C_{12} -alkenyl, C_5 - C_{12} -cycloalkenyl, C_2 - C_{12} -alkynyl, where some or all of the hydrogen atoms in the 4 lastmentioned groups may be substituted by halogen and some or all of the hydrogen atoms in C_3 - C_{12} -cycloalkyl may be substituted by C_1 - C_4 -alkyl;

10

- C_1 - C_{12} -haloalkyl, in particular C_1 - C_4 -fluoroalkyl, C_1 - C_4 -alkoxy- C_1 - C_4 -alkyl, C_1 - C_4 -haloalkoxy- C_1 - C_4 -alkyl, in particular C_1 - C_4 -fluoroalkoxy- C_1 - C_4 -alkyl;

15

- phenyl, phenyl- C_1 - C_6 -alkyl, where the phenyl ring may be substituted by 1, 2, 3 or 4 radicals R^7 and has in particular 0, 1, 2 or 3 radicals R^7 ; or
- $-C(C_1-C_4-alkyl)=NO-R^8$, where some or all of the hydrogen atoms of the C_1 - C_4 -alkyl group may be substituted by halogen.

20

Here, R^7 and R^8 have in particular the meanings given as being preferred; W is in particular oxygen.

25

In particular with a view to their use as fungicides and active compounds for controlling pests, preference is given to the individual compounds compiled in Table 1 to 6 below, which compounds are embraced by the formulae Ia, Ib and Ic, with compounds of the formula Ia being particularly preferred.

Table A

No.	R ⁵
1.	cyclopropyl
2.	n-C ₄ H ₉
3.	s-C ₄ H ₉
4.	i-C ₄ H ₉
5.	t-C ₄ H ₉
6.	n-C ₅ H ₁₁
7.	i-C ₅ H ₁₁
8.	neo-C ₅ H ₁₁
9.	cyclopentyl
10.	n-C ₆ H ₁₃
11.	cyclohexyl
12.	cyclobutyl
13.	CH ₂ CH ₂ Cl
14.	(CH ₂) ₄ Cl
15.	2-methoxyeth-1-yl
16.	2-ethoxyeth-1-yl
17.	2-isopropoxyeth-1-yl
18.	2-vinyloxyeth-1-yl
19.	allyloxyeth-1-yl
20.	2-trifluoromethoxyeth-1-yl
21.	prop-2-yn-1-yl
22.	but-2-yn-1-yl
23.	but-3-yn-1-yl
24.	3-chloroprop-2-yn-1-yl
25.	benzyl
26.	1-naphthyl-CH ₂
27.	2-naphthyl-CH ₂
28.	2-phenoxyeth-1-yl
29.	2-(2'-chlorophenoxy)eth-1-yl
30.	2-(3'-chlorophenoxy)eth-1-yl
31.	2-(4'-chlorophenoxy)eth-1-yl
32.	2-(3',5'-dichlorophenoxy)eth-1-yl
33.	2-(2'-cyanophenoxy)eth-1-yl
34.	2-(3'-cyanophenoxy)eth-1-yl
35.	2-(4'-cyanophenoxy)eth-1-yl
36.	2-(2'-methylphenoxy)eth-1-yl

No.	R ⁵
37.	2-(3'-methylphenoxy)eth-1-yl
38.	2-(4'-methylphenoxy)eth-1-yl
39.	2-(3'-t-butylphenoxy)eth-1-yl
40.	2-(4'-t-butylphenoxy)eth-1-yl
41.	2-(2'-nitrophenoxy)eth-1-yl
42.	2-(3'-nitrophenoxy)eth-1-yl
43.	2-(4'-nitrophenoxy)eth-1-yl
44.	2-(2'-methoxyphenoxy)eth-1-yl
45.	2-(3'-methoxyphenoxy)eth-1-yl
46.	2-(4'-methoxyphenoxy)eth-1-yl
47.	2-(2'-trifluoromethylphenoxy)eth-1-yl
48.	2-(3'-trifluoromethylphenoxy)eth-1-yl
49.	2-(4'-trifluoromethylphenoxy)eth-1-yl
50.	2-phenyleth-1-yl
51.	2-(2'-chlorophenyl)eth-1-yl
52.	2-(3'-chlorophenyl)eth-1-yl
53.	2-(4'-chlorophenyl)eth-1-yl
54.	2-(3',5'-dichlorophenyl)eth-1-yl
55.	2-(2'-cyanophenyl)eth-1-yl
56.	2-(3'-cyanophenyl)eth-1-yl
57.	2-(4'-cyanophenyl)eth-1-yl
58.	2-(2'-methylphenyl)eth-1-yl
59.	2-(3'-methylphenyl)eth-1-yl
60.	2-(4'-methylphenyl)eth-1-yl
61.	2-(2'-methoxyphenyl)eth-1-yl
62.	2-(3'-methoxyphenyl)eth-1-yl
63.	2-(4'-methoxyphenyl)eth-1-yl
64.	2-(2'-trifluoromethylphenyl)eth-1-yl
65.	2-(3'-trifluoromethylphenyl)eth-1-yl
66.	2-(4'-trifluoromethylphenyl)eth-1-yl
67.	C ₆ H ₅
68.	2-F-C ₆ H ₄
69.	3-F-C ₆ H ₄
70.	4-F-C ₆ H ₄
71.	2,3-F ₂ -C ₆ H ₃
72.	2,4-F ₂ -C ₆ H ₃
73.	2,5-F ₂ -C ₆ H ₃
74.	2,6-F ₂ -C ₆ H ₃

No.	R ⁵
75.	3,4-F ₂ -C ₆ H ₃
76.	3,5-F ₂ -C ₆ H ₃
77.	2-Cl-C ₆ H ₄
78.	3-Cl-C ₆ H ₄
79.	4-Cl-C ₆ H ₄
80.	2,3-Cl ₂ -C ₆ H ₃
81.	2,4-Cl ₂ -C ₆ H ₃
82.	2,5-Cl ₂ -C ₆ H ₃
83.	2,6-Cl ₂ -C ₆ H ₃
84.	3,4-Cl ₂ -C ₆ H ₃
85.	3,5-Cl ₂ -C ₆ H ₃
86.	2,3,4-Cl ₃ -C ₆ H ₂
87.	2,3,5-Cl ₃ -C ₆ H ₂
88.	2,3,6-Cl ₃ -C ₆ H ₂
89.	2,4,5-Cl ₃ -C ₆ H ₂
90.	2,4,6-Cl ₃ -C ₆ H ₂
91.	3,4,5-Cl ₃ -C ₆ H ₂
92.	2-Br-C ₆ H ₄
93.	3-Br-C ₆ H ₄
94.	4-Br-C ₆ H ₄
95.	2,3-Br ₂ -C ₆ H ₃
96.	2,4-Br ₂ -C ₆ H ₃
97.	2,5-Br ₂ -C ₆ H ₃
98.	2,6-Br ₂ -C ₆ H ₃
99.	3,4-Br ₂ -C ₆ H ₃
100.	3,5-Br ₂ -C ₆ H ₃
101.	2-F, 3-Cl-C ₆ H ₃
102.	2-F, 4-Cl-C ₆ H ₃
103.	2-F, 5-Cl-C ₆ H ₃
104.	2-F, 3-Br-C ₆ H ₃
105.	2-F, 4-Br-C ₆ H ₃
106.	2-F, 5-Br-C ₆ H ₃
107.	2-Cl, 3-Br-C ₆ H ₃
108.	2-Cl, 4-Br-C ₆ H ₃
109.	2-Cl, 5-Br-C ₆ H ₃
110.	3-F, 4-Cl-C ₆ H ₃
111.	3-F, 5-Cl-C ₆ H ₃
112.	3-F, 6-Cl-C ₆ H ₃

No.	R ⁵
113.	3-F, 4-Br-C ₆ H ₃
114.	3-F, 5-Br-C ₆ H ₃
115.	3-F, 6-Br-C ₆ H ₃
116.	3-Cl, 4-Br-C ₆ H ₃
117.	3-Cl, 5-Br-C ₆ H ₃
118.	3-Cl, 6-Br-C ₆ H ₃
119.	4-F, 5-Cl-C ₆ H ₃
120.	4-F, 6-Cl-C ₆ H ₃
121.	4-F, 5-Br-C ₆ H ₃
122.	4-F, 6-Br-C ₆ H ₃
123.	4-Cl, 5-Br-C ₆ H ₃
124.	5-F, 6-Cl-C ₆ H ₃
125.	5-F, 6-Br-C ₆ H ₃
126.	5-Cl, 6-Br-C ₆ H ₃
127.	3-Br, 4-Cl, 5-Br-C ₆ H ₂
128.	2-CN-C ₆ H ₄
129.	3-CN-C ₆ H ₄
130.	4-CN-C ₆ H ₄
131.	2-NO ₂ -C ₆ H ₄
132.	3-NO ₂ -C ₆ H ₄
133.	4-NO ₂ -C ₆ H ₄
134.	2-CH ₃ -C ₆ H ₄
135.	3-CH ₃ -C ₆ H ₄
136.	4-CH ₃ -C ₆ H ₄
137.	2,3-(CH ₃) ₂ -C ₆ H ₃
138.	2,4-(CH ₃) ₂ -C ₆ H ₃
139.	2,5-(CH ₃) ₂ -C ₆ H ₃
140.	2,6-(CH ₃) ₂ -C ₆ H ₃
141.	3,4-(CH ₃) ₂ -C ₆ H ₃
142.	3,5-(CH ₃) ₂ -C ₆ H ₃
143.	2-C ₂ H ₅ -C ₆ H ₄
144.	3-C ₂ H ₅ -C ₆ H ₄
145.	4-C ₂ H ₅ -C ₆ H ₄
146.	2-i-C ₃ H ₇ -C ₆ H ₄
147.	3-i-C ₃ H ₇ -C ₆ H ₄
148.	4-i-C ₃ H ₇ -C ₆ H ₄
149.	3-tert-C ₄ H ₉ -C ₆ H ₄
150.	4-tert-C ₄ H ₉ -C ₆ H ₄

No.	R ⁵
151.	2-vinyl-C ₆ H ₄
152.	3-vinyl-C ₆ H ₄
153.	4-vinyl-C ₆ H ₄
154.	2-allyl-C ₆ H ₄
155.	3-allyl-C ₆ H ₄
156.	4-allyl-C ₆ H ₄
157.	2-C ₆ H ₅ -C ₆ H ₄
158.	3-C ₆ H ₅ -C ₆ H ₄
159.	4-C ₆ H ₅ -C ₆ H ₄
160.	3-CH ₃ , 5-tert-C ₄ H ₉ -C ₆ H ₃
161.	2-OH-C ₆ H ₄
162.	3-OH-C ₆ H ₄
163.	4-OH-C ₆ H ₄
164.	2-OCH ₃ -C ₆ H ₄
165.	3-OCH ₃ -C ₆ H ₄
166.	4-OCH ₃ -C ₆ H ₄
167.	2,3-(OCH ₃) ₂ -C ₆ H ₃
168.	2,4-(OCH ₃) ₂ -C ₆ H ₃
169.	2,5-(OCH ₃) ₂ -C ₆ H ₃
170.	3,4-(OCH ₃) ₂ -C ₆ H ₃
171.	3,5-(OCH ₃) ₂ -C ₆ H ₃
172.	3,4,5-(OCH ₃) ₃ -C ₆ H ₂
173.	2-OC ₂ H ₅ -C ₆ H ₄
174.	3-OC ₂ H ₅ -C ₆ H ₄
175.	4-OC ₂ H ₅ -C ₆ H ₄
176.	2-O-(n-C ₃ H ₇)-C ₆ H ₄
177.	3-O-(n-C ₃ H ₇)-C ₆ H ₄
178.	4-O-(n-C ₃ H ₇)-C ₆ H ₄
179.	2-O-(i-C ₃ H ₇)-C ₆ H ₄
180.	3-O-(i-C ₃ H ₇)-C ₆ H ₄
181.	4-O-(i-C ₃ H ₇)-C ₆ H ₄
182.	4-O-(n-C ₄ H ₉)-C ₆ H ₄
183.	3-O-(t-C ₄ H ₉)-C ₆ H ₄
184.	4-O-(t-C ₄ H ₉)-C ₆ H ₄
185.	2-O-allyl-C ₆ H ₄
186.	3-O-allyl-C ₆ H ₄
187.	4-O-allyl-C ₆ H ₄
188.	2-CF ₃ -C ₆ H ₄

No.	R ⁵
189.	3-CF ₃ -C ₆ H ₄
190.	4-CF ₃ -C ₆ H ₄
191.	2-acetyl-C ₆ H ₄
192.	3-acetyl-C ₆ H ₄
193.	4-acetyl-C ₆ H ₄
194.	2-methoxycarbonyl-C ₆ H ₄
195.	3-methoxycarbonyl-C ₆ H ₄
196.	4-methoxycarbonyl-C ₆ H ₄
197.	2-aminocarbonyl-C ₆ H ₄
198.	3-aminocarbonyl-C ₆ H ₄
199.	4-aminocarbonyl-C ₆ H ₄
200.	2-dimethylaminocarbonyl-C ₆ H ₄
201.	3-dimethylaminocarbonyl-C ₆ H ₄
202.	4-dimethylaminocarbonyl-C ₆ H ₄
203.	2-(N-methylaminocarbonyl)-C ₆ H ₄
204.	3-(N-methylaminocarbonyl)-C ₆ H ₄
205.	4-(N-methylaminocarbonyl)-C ₆ H ₄
206.	2-H ₂ N-C ₆ H ₄
207.	3-H ₂ N-C ₆ H ₄
208.	4-H ₂ N-C ₆ H ₄
209.	2-aminothiocarbonyl-C ₆ H ₄
210.	3-aminothiocarbonyl-C ₆ H ₄
211.	4-aminothiocarbonyl-C ₆ H ₄
212.	2-methoxyiminomethyl-C ₆ H ₄
213.	3-methoxyiminomethyl-C ₆ H ₄
214.	4-methoxyiminomethyl-C ₆ H ₄
215.	3,4-methylenedioxy-C ₆ H ₃
216.	3,4-difluoromethylenedioxy-C ₆ H ₃
217.	2,3-methylenedioxy-C ₆ H ₃
218.	2-(1'-methoxyiminoeth-1'-yl)-C ₆ H ₄
219.	3-(1'-methoxyiminoeth-1'-yl)-C ₆ H ₄
220.	4-(1'-methoxyiminoeth-1'-yl)-C ₆ H ₄
221.	2-SCH ₃ -C ₆ H ₄
222.	3-SCH ₃ -C ₆ H ₄
223.	4-SCH ₃ -C ₆ H ₄
224.	2-SO ₂ CH ₃ -C ₆ H ₄
225.	3-SO ₂ CH ₃ -C ₆ H ₄
226.	4-SO ₂ CH ₃ -C ₆ H ₄

No.	R ⁵
227.	2-OCF ₃ -C ₆ H ₄
228.	3-OCF ₃ -C ₆ H ₄
229.	4-OCF ₃ -C ₆ H ₄
230.	2-OCHF ₂ -C ₆ H ₄
231.	3-OCHF ₂ -C ₆ H ₄
232.	4-OCHF ₂ -C ₆ H ₄
233.	3-CF ₃ , 4-OCF ₃ -C ₆ H ₃
234.	2-NHCH ₃ -C ₆ H ₄
235.	3-NHCH ₃ -C ₆ H ₄
236.	4-NHCH ₃ -C ₆ H ₄
237.	2-N(CH ₃) ₂ -C ₆ H ₄
238.	3-N(CH ₃) ₂ -C ₆ H ₄
239.	4-N(CH ₃) ₂ -C ₆ H ₄
240.	2-ethoxycarbonyl-C ₆ H ₄
241.	3-ethoxycarbonyl-C ₆ H ₄
242.	4-ethoxycarbonyl-C ₆ H ₄
243.	2-CH ₂ CH ₂ F-C ₆ H ₄
244.	3-CH ₂ CH ₂ F-C ₆ H ₄
245.	4-CH ₂ CH ₂ F-C ₆ H ₄
246.	2-CH ₂ CF ₃ -C ₆ H ₄
247.	3-CH ₂ CF ₃ -C ₆ H ₄
248.	4-CH ₂ CF ₃ -C ₆ H ₄
249.	2-CF ₂ CHF ₂ -C ₆ H ₄
250.	3-CF ₂ CHF ₂ -C ₆ H ₄
251.	4-CF ₂ CHF ₂ -C ₆ H ₄
252.	2-CHF ₂ -C ₆ H ₄
253.	3-CHF ₂ -C ₆ H ₄
254.	4-CHF ₂ -C ₆ H ₄
255.	2-(1'-oxo-n-prop-1-yl)-C ₆ H ₄
256.	3-(1'-oxo-n-prop-1-yl)-C ₆ H ₄
257.	4-(1'-oxo-n-prop-1-yl)-C ₆ H ₄
258.	2-(1'-oxo-isoprop-1-yl)-C ₆ H ₄
259.	3-(1'-oxo-isoprop-1-yl)-C ₆ H ₄
260.	4-(1'-oxo-isoprop-1-yl)-C ₆ H ₄
261.	3-cyclopropyl-C ₆ H ₄
262.	4-cyclopropyl-C ₆ H ₄
263.	4-cyclohexyl-C ₆ H ₄
264.	-C≡CH

No.	R ⁵
265.	-C≡C-Cl
266.	-C≡C-Br
267.	-C≡C-CH ₃
268.	-C≡C-C ₆ H ₅
269.	-C≡C-[2-Cl-C ₆ H ₄]
270.	-C≡C-[4-Cl-C ₆ H ₄]
271.	-C≡C-[2,4-Cl ₂ -C ₆ H ₃]
272.	-C≡C-[2-CH ₃ -C ₆ H ₄]
273.	-C≡C-[4-CH ₃ -C ₆ H ₄]
274.	-C≡C-[2,4-(CH ₃) ₂ -C ₆ H ₃]
275.	-C≡C-[2-Cl, 4-CH ₃ -C ₆ H ₃]
276.	-C≡C-[2-CH ₃ , 4-Cl-C ₆ H ₃]
277.	-C≡C-[3-CF ₃ -C ₆ H ₄]
278.	-C≡C-[3-Cl, 5-CF ₃ -C ₆ H ₃]
279.	-C≡C-[2-OCH ₃ -C ₆ H ₄]
280.	-C≡C-[4-OCH ₃ -C ₆ H ₄]
281.	-C≡C-[2,4-(OCH ₃) ₂ -C ₆ H ₃]
282.	-C≡C-[2-Cl, 4-OCH ₃ -C ₆ H ₃]
283.	-C≡C-[2-OCH ₃ , 4-Cl-C ₆ H ₃]
284.	-C≡C-[3-OCHF ₂ -C ₆ H ₄]
285.	-C≡C-[3-Cl, 5-OCHF ₂ -C ₆ H ₃]
286.	cyclopentyl
287.	1-CH ₃ -cyclopentyl
288.	2-CH ₃ -cyclopentyl
289.	3-CH ₃ -cyclopentyl
290.	2,3-(CH ₃) ₂ -cyclopentyl
291.	1-Cl-cyclopentyl
292.	2-Cl-cyclopentyl
293.	3-Cl-cyclopentyl
294.	2-CH ₃ , 3-Cl-cyclopentyl
295.	2,3-Cl ₂ -cyclopentyl
296.	cyclohexyl
297.	1-CH ₃ -cyclohexyl
298.	2-CH ₃ -cyclohexyl
299.	3-CH ₃ -cyclohexyl
300.	2,3-(CH ₃) ₂ -cyclohexyl
301.	3,3-(CH ₃) ₂ -cyclohexyl
302.	1-Cl-cyclohexyl
303.	2-Cl-cyclohexyl
304.	3-Cl-cyclohexyl

No.	R ⁵
305.	2-CH ₃ , 3-Cl-cyclohexyl
306.	2,3-Cl ₂ -cyclohexyl
307.	CH ₂ -C≡C-H
308.	CH ₂ -C≡C-Cl
309.	CH ₂ -C≡C-Br
310.	CH ₂ -C≡C-J
311.	CH ₂ -C≡C-CH ₃
312.	CH ₂ -C≡C-CH ₂ CH ₃
313.	CH ₂ CH ₂ -C≡C-H
314.	CH ₂ CH ₂ -C≡C-Cl
315.	CH ₂ CH ₂ -C≡C-Br
316.	CH ₂ CH ₂ -C≡C-I
317.	CH ₂ CH ₂ -C≡C-CH ₃
318.	CH ₂ CH ₂ CH ₂ -C≡C-H
319.	CH ₂ CH ₂ CH ₂ -C≡C-Cl
320.	CH ₂ CH ₂ CH ₂ -C≡C-Br
321.	CH ₂ CH ₂ CH ₂ -C≡C-I
322.	CH ₂ CH ₂ CH ₂ -C≡C-CH ₃
323.	CH(CH ₃)-C≡C-H
324.	CH(CH ₃)-C≡C-Cl
325.	CH(CH ₃)-C≡C-Br
326.	CH(CH ₃)-C≡C-I
327.	CH(CH ₃)-C≡C-CH ₃
328.	-C≡C-[4-F-C ₆ H ₄]
329.	n-heptyl
330.	n-octyl
331.	vinyl
332.	1-methylvinyl
333.	2-methylvinyl
334.	allyl
335.	2-methylallyl
336.	2-ethylallyl
337.	1-methylallyl
338.	1-ethylallyl,
339.	1-methyl-2-butenyl
340.	1-ethyl-2-butenyl
341.	1-isopropyl-2-butenyl
342.	1-n-butyl-2-butenyl
343.	1-methyl-2-pentyl
344.	1,4-dimethyl-2-pentenyl

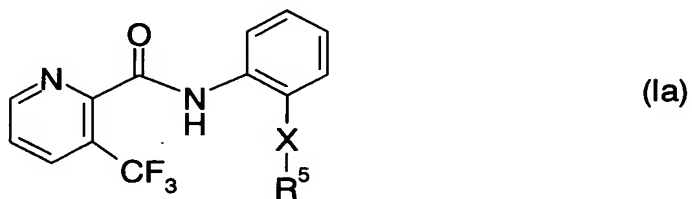
No.	R ⁵
345.	propargyl
346.	2-butyryl
347.	3-butyryl
348.	2-cyclopentenyl
349.	1-cyclopentenyl
350.	1-cyclohexenyl
351.	2-cyclohexenyl
352.	-CH ₂ F
353.	-CHF ₂
354.	-CF ₃
355.	-CH ₂ -CHF ₂
356.	-CH ₂ -CF ₃
357.	-CHF-CF ₃
358.	-CF ₂ -CHF ₂
359.	-CF ₂ -CF ₃
360.	CH ₂ -CF ₂ -CHF ₂
361.	CH ₂ -CF ₂ -CF ₃
362.	CF ₂ -CF ₂ -CF ₃
363.	-CF ₂ -CHF-CF ₃
364.	-CH ₂ (CF ₂) ₂ -CF ₃
365.	-CF ₂ (CF ₂) ₂ -CF ₃
366.	-CH ₂ (CF ₂) ₃ -CF ₃
367.	-CF ₂ (CF ₂) ₃ -CF ₃
368.	-CF ₂ -CF ₂ O-methyl
369.	-CF ₂ -CF ₂ Oethyl
370.	CF ₂ -CF ₂ O-n-propyl
371.	CF ₂ -CF ₂ O-n-butyl
372.	-CF ₂ -(CF ₂) ₂ O-methyl
373.	-CF ₂ -(CF ₂) ₂ Oethyl
374.	-CF ₂ -(CF ₂) ₂ O-n-propyl
375.	-CF ₂ -(CF ₂) ₂ O-n-butyl
376.	-(CF ₂) ₂ -O-(CF ₂) ₂ O-methyl
377.	-(CF ₂) ₂ -O-(CF ₂) ₂ Oethyl
378.	-(CF ₂) ₂ -O-(CF ₂) ₂ O-n-propyl
379.	-(CF ₂) ₂ -O-(CF ₂) ₂ O-n-butyl
380.	-CH ₂ -CHCl ₂
381.	-CH ₂ -CCl ₃
382.	-CCl ₂ -CHCl ₂
383.	-CH ₂ CFCl ₂
384.	-CH ₂ -CClF ₂

No.	R ⁵
385.	-CH ₂ -CCl ₂ -CCl ₃
386.	-CH ₂ -CF ₂ -CHF-CF ₂ -CClF ₂
387.	methoxyiminomethyl
388.	ethoxyiminomethyl
389.	isopropoxyiminomethyl
390.	allyloxyiminomethyl
391.	phenoxyiminomethyl
392.	benzyloxyiminomethyl
393.	1-methoxyiminoeth-1-yl
394.	1-ethoxyiminoeth-1-yl
395.	1-isopropoxyiminoeth-1-yl
396.	1-allyloxyiminoeth-1-yl
397.	1-phenoxyiminoeth-1-yl
398.	1-benzyloxyiminoeth-1-yl
399.	2-ethoxyiminomethylphenyl
400.	3-ethoxyiminomethylphenyl
401.	4-ethoxyiminomethylphenyl
402.	2-(1-ethoxyiminoeth-1-yl)phenyl
403.	3-(1-ethoxyiminoeth-1-yl)phenyl
404.	4-(1-ethoxyiminoeth-1-yl)phenyl
405.	2-(1-ethoxyimino-n-prop-1-yl)phenyl
406.	3-(1-ethoxyimino-n-prop-1-yl)phenyl
407.	4-(1-ethoxyimino-n-prop-1-yl)phenyl
408.	2-(isopropoxyiminomethyl)phenyl
409.	3-(isopropoxyiminomethyl)phenyl
410.	4-(isopropoxyiminomethyl)phenyl
411.	2-(1-isopropoxyiminoeth-1-yl)phenyl
412.	3-(1-isopropoxyiminoeth-1-yl)phenyl
413.	4-(1-isopropoxyiminoeth-1-yl)phenyl
414.	2-(1-ethoxyimino-n-prop-1-yl)phenyl
415.	3-(1-ethoxyimino-n-prop-1-yl)phenyl
416.	4-(1-ethoxyimino-n-prop-1-yl)phenyl
417.	2-(allyloxyiminomethyl)phenyl
418.	3-(allyloxyiminomethyl)phenyl
419.	4-(allyloxyiminomethyl)phenyl
420.	2-(1-allyloxyiminoeth-1-yl)phenyl
421.	3-(1-allyloxyiminoeth-1-yl)phenyl
422.	4-(1-allyloxyiminoeth-1-yl)phenyl
423.	2-(1-allyloxyimino-n-prop-1-yl)phenyl
424.	3-(1-allyloxyimino-n-prop-1-yl)phenyl

No.	R ⁵
425.	4-(1-allyloxyimino-n-prop-1-yl)phenyl

Table 1:

Compounds of the formula Ia;



5

in which X is a direct bond and R⁵ has one of the meanings given in Table A.

Table 2:

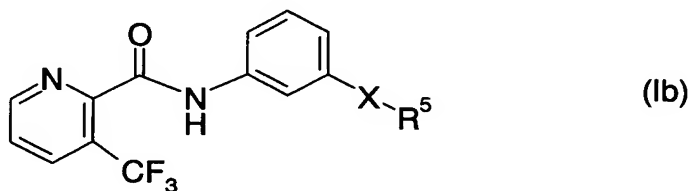
10

Compounds of the formula Ia, in which X is O and R⁵ has one of the meanings given in Table A.

Table 3:

15

Compounds of the formula Ib,

in which X is a direct bond and R⁵ has one of the meanings given in Table A.

20

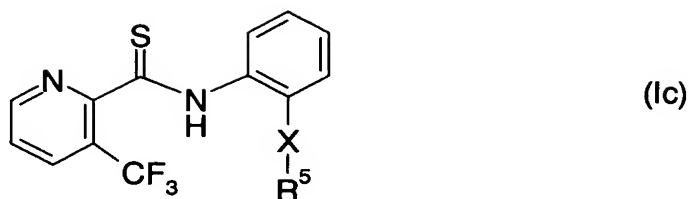
Table 4:

Compounds of the formula Ib, in which X is O and R⁵ has one of the meanings given in Table A.

25

Table 5:

Compounds of the formula Ic,



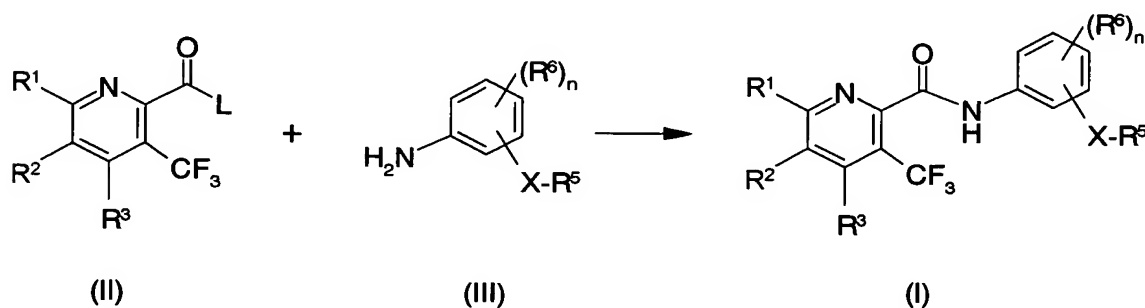
in which X is a direct bond and R⁵ has one of the meanings given in Table A.

5 Table 6:

Compounds of the formula Ic, in which X is O and R⁵ has one of the meanings given in Table A.

- 10 The 3-trifluoromethylpicolinic acid anilides of the formula I where W = O and R⁴ = H can be prepared in accordance with the synthesis shown in Scheme 1 following processes known from the literature, by reacting activated 3-trifluoromethylpicolinic acid derivatives of the formula II with an aniline III [Houben-Weyl: "Methoden der organ. Chemie" [Methods of organic chemistry], Georg-Thieme-Verlag, Stuttgart, New York
- 15 1985, Volume E5, pp. 941-1045.]. Activated carboxylic acid derivatives are, for example, halides, activated esters, anhydrides, azides, e.g. chlorides, fluorides, bromides, para-nitrophenyl esters, pentafluorophenyl esters, N-hydroxysuccinimides and hydroxybenzotriazol-1-yl esters.

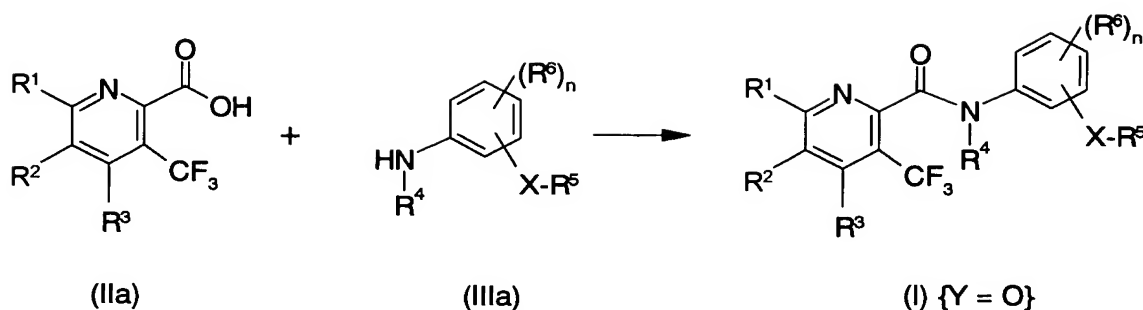
20 Scheme 1:



- In Scheme 1, L is a suitable nucleophilically displaceable leaving group, for example halide, the radical of an activated ester, the radical of an anhydride, azide, e.g.
- 25 chloride, fluoride, bromide, para-nitrophenyloxy, pentafluorophenyloxy, a radical derived from N-hydroxysuccinimide or from hydroxybenzotriazol-1-yl.

- Moreover, the 3-trifluoromethylpicolinic acid anilides of the formula I can be prepared by reacting the free acids IIa with an unsubstituted or N-substituted aniline IIIa in the
- 30 presence of a coupling agent (Scheme 2).

Scheme 2:



5 Suitable coupling agents are, for example:

- coupling agents based on carbodiimides, for example N,N'-dicyclohexylcarbodiimide [J.C. Sheehan, G.P. Hess, J. Am. Chem. Soc. 1955, 77, 1067], N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide;
- coupling agents which form mixed anhydrides with carbonic esters, for example 2-ethoxy-1-ethoxycarbonyl-1,2-dihydroquinoline [B. Belleau, G. Malek, J. Amer. Chem. Soc. 1968, 90, 1651.], 2-isobutyloxy-1-isobutyloxy carbonyl-1,2-dihydroquinoline [Y. Kiso, H. Yajima, J. Chem. Soc., Chem. Commun. 1972, 942.];
- phosphonium-based coupling agents, for example (benzotriazol-1-yloxy)tris(dimethylamino)phosphonium hexafluorophosphate [B. Castro, J.R. Domoy, G. Evin, C. Selve, Tetrahedron Lett. 1975, 14, 1219.], (benzotriazol-1-yloxy)-tripyrrolidinophosphonium hexafluorophosphate [J. Coste et.al., Tetrahedron Lett. 1990, 31, 205.];
- uronium-based coupling agents or coupling agents having a guanidinium N-oxide structure, for example N,N,N',N'-tetramethyl-O-(1H-benzotriazol-1-yl)uronium hexafluorophosphate [R. Knorr, A. Trzeciak, W. Bannwarth, D. Gillissen, Tetrahedron Lett. 1989, 30, 1927.], N,N,N',N'-tetramethyl-O-(benzotriazol-1-yl)-uronium tetrafluoroborate, (benzotriazol-1-yloxy)dipiperidinocarbenium hexafluorophosphate [S. Chen, J. Xu, Tetrahedron Lett. 1992, 33, 647.];
- coupling agents which form acid chlorides, for example bis-(2-oxooxazolidinyl)phosphinic chloride [J. Diago-Mesequer, Synthesis 1980, 547.].

The 3-trifluoromethylpicolinic acid anilides of the formula I where R⁴ = unsubstituted or halogen-substituted alkyl or unsubstituted or halogen-substituted cycloalkyl can be prepared by alkylating the amides I (where R⁴ = hydrogen and which are obtainable in accordance with Scheme 1 or 2) with suitable alkylating agents in the presence of bases. Processes to achieve this are known, for example from J. Am. Chem. Soc. 1952, 74, p.3121, Helv. Chim. Acta, 1974, 57, p. 281, Synth. Commun. 1988, 18, p. 2011.

35 The 3-trifluoromethylpicolinic acids IIa can be prepared by methods known from the

literature, for example from the corresponding pyridine-2,3-dicarboxylic acids by reaction with sulfur tetrafluoride analogously to that in J. Fluorine Chem. 1993, 60, p. 233-237; JP 1980-5059135; US 4803205; or Khim. Geterotsikl. Soedin 1994, pp. 657-659; or by introducing the carboxyl group according to Eur. J. Org. Chem. 2002, pp. 327-330.

These can be used to synthesize the activated thiophenecarboxylic acid derivatives II by processes known from the literature [Houben-Weyl: "Methoden der organ. Chemie", Georg-Thieme-Verlag, Stuttgart, New York 1985, Volume E5, pp. 587-614, 633-772.]

The anilines III and IIIa can be synthesized by methods known from the literature [Houben-Weyl: "Methoden der organ. Chemie", Georg-Thieme-Verlag, Stuttgart, New York, Volume XI, Part 1, pp. 9-1005.]

3-Trifluoromethylpicolinethioanilides of the formula I where W = S can be prepared by generally known sulfurization processes from the corresponding anilides of the formula I where X = O, for example by sulfurization with P₂S₅ according to J. Am. Chem. Soc. 1951, 73, p. 4988, by reaction with Lawessons reagent according to Heterocycles 2002, 58, pp. 203-212; or Pharmazie 1999, 54 (9), pp.645-650.

The 3-trifluoromethylpicolinic acid anilides of the formula I and their agriculturally acceptable, i.e. useful salts are suitable for use as fungicides. They are distinguished by an outstanding effectiveness against a broad spectrum of phytopathogenic fungi, especially from the classes of the *Ascomycetes*, *Deuteromycetes*, *Phycomycetes* and *Basidiomycetes*. Some are systemically effective and they can be used in plant protection as foliar and soil fungicides.

They are particularly important in the control of a multitude of fungi on various cultivated plants, such as wheat, rye, barley, oats, rice, maize, grass, bananas, cotton, soya, coffee, sugar cane, vines, fruits and ornamental plants, and vegetables, such as cucumbers, beans, tomatoes, potatoes and cucurbits, and on the seeds of these plants.

They are especially suitable for controlling the following plant diseases:

- *Alternaria* species on fruit and vegetables,
- *Botrytis cinerea* (gray mold) on strawberries, vegetables, ornamental plants and grapevines,
- *Cercospora arachidicola* on groundnuts,
- *Erysiphe cichoracearum* and *Sphaerotheca fuliginea* on cucurbits,
- *Erysiphe graminis* (powdery mildew) on cereals,

- Fusarium and Verticillium species on various plants,
- Helminthosporium species on cereals,
- Mycosphaerella species on bananas and groundnuts,
- Phytophthora infestans on potatoes and tomatoes,
- 5 • Plasmopara viticola on grapevines,
- Podosphaera leucotricha on apples,
- Pseudocercospora herpotrichoides on wheat and barley,
- Pseudoperonospora species on hops and cucumbers,
- Puccinia species on cereals,
- 10 • Pyricularia oryzae on rice,
- Rhizoctonia species on cotton, rice and lawns,
- Septoria nodorum on wheat,
- Sphaerotheca fuliginea (mildew of cucumber) on cucumbers,
- Uncinula necator on grapevines,
- 15 • Ustilago species on cereals and sugar cane, and
- Venturia species (scab) on apples and pears,
- Septoria tritici,
- Pyrenophora species,
- Leptosphaeria nodorum,
- 20 • Rhynchosporium species
- Typhula species.

The compounds I are also suitable for controlling harmful fungi, such as *Paecilomyces variotii*, in the protection of materials (e.g. wood, paper, paint dispersions, fibers or
25 fabrics) and in the protection of stored products.

The compounds I are employed by treating the fungi or the plants, seeds, materials or soil to be protected from fungal attack with a fungicidally effective amount of the active compounds. The application can be carried out both before and after the infection of
30 the materials, plants or seeds by the fungi.

The fungicidal compositions generally comprise between 0.1 and 95%, preferably between 0.5 and 90%, by weight of active compound.

35 When employed in plant protection, the amounts applied are, depending on the kind of effect desired, between 0.01 and 2.0 kg of active compound per ha.

In seed treatment, amounts of active compound of 0.001 to 0.1 g, preferably 0.01 to 0.05 g, per kilogram of seed are generally necessary.

When used in the protection of materials or stored products, the amount of active compound applied depends on the kind of application area and on the effect desired. Amounts customarily applied in the protection of materials are, for example, 0.001 g to 2 kg, preferably 0.005 g to 1 kg, of active compound per cubic meter of treated material.

The compounds I can be converted to the usual formulations, e.g. solutions, emulsions, suspensions, dusts, powders, pastes and granules. The application form depends on the respective use intended; it should in any case guarantee a fine and uniform distribution of the compound according to the invention.

The formulations are prepared in a known way, e.g. by extending the active compound with solvents and/or carriers, if desired using emulsifiers and dispersants, it being possible, when water is the diluent, also to use other organic solvents as auxiliary solvents. Suitable auxiliaries for this purpose are essentially: solvents, such as aromatics (e.g. xylene), chlorinated aromatics (e.g. chlorobenzenes), paraffins (e.g. petroleum fractions), alcohols (e.g. methanol, butanol), ketones (e.g. cyclohexanone), amines (e.g. ethanolamine, dimethylformamide) and water; carriers, such as ground natural minerals (e.g. kaolins, clays, talc, chalk) and ground synthetic minerals (e.g. highly dispersed silicic acid, silicates); emulsifiers, such as nonionic and anionic emulsifiers (e.g. polyoxyethylene fatty alcohol ethers, alkylsulfonates and arylsulfonates) and dispersants, such as lignosulfite waste liquors and methylcellulose.

Suitable surfactants are alkali metal, alkaline earth metal and ammonium salts of lignosulfonic acid, naphthalenesulfonic acid, phenolsulfonic acid and dibutyl-naphthalenesulfonic acid, alkylarylsulfonates, alkyl sulfates, alkylsulfonates, fatty alcohol sulfates and fatty acids, and alkali metal and alkaline earth metal salts thereof, salts of sulfated fatty alcohol glycol ethers, condensation products of sulfonated naphthalene and naphthalene derivatives with formaldehyde, condensation products of naphthalene or of naphthalenesulfonic acid with phenol and formaldehyde, polyoxyethylene octylphenol ethers, ethoxylated isooctylphenol, octylphenol and nonylphenol, alkylphenol polyglycol ethers, tributylphenyl polyglycol ethers, alkylaryl polyether alcohols, isotridecyl alcohol, fatty alcohol ethylene oxide condensates, ethoxylated castor oil, polyoxyethylene alkyl ethers, ethoxylated polyoxypropylene, lauryl alcohol polyglycol ether acetal, sorbitol esters, lignosulfite waste liquors and methylcellulose.

Petroleum fractions having medium to high boiling points, such as kerosene or diesel fuel, furthermore coal tar oils, and oils of vegetable or animal origin, aliphatic, cyclic and aromatic hydrocarbons, e.g. benzene, toluene, xylene, paraffin, tetrahydro-naphthalene, alkylated naphthalenes or derivatives thereof, methanol, ethanol, propanol, butanol, chloroform, carbon tetrachloride, cyclohexanol, cyclohexanone,

chlorobenzene or isophorone, or highly polar solvents, e.g. dimethylformamide, dimethyl sulfoxide, N-methylpyrrolidone or water, are suitable for the preparation of directly sprayable solutions, emulsions, pastes or oil dispersions.

- 5 Powders, compositions for broadcasting and dusts can be prepared by mixing or mutually grinding the active substances with a solid carrier.

Granules, e.g. coated granules, impregnated granules and homogeneous granules, can be prepared by binding the active compounds to solid carriers. Solid carriers are,
10 e.g., mineral earths, such as silica gels, silicates, talc, kaolin, attaclay, limestone, lime, chalk, bole, loess, clay, dolomite, diatomaceous earth, calcium sulfate, magnesium sulfate, magnesium oxide, ground synthetic materials, fertilizers, such as, e.g., ammonium sulfate, ammonium phosphate, ammonium nitrate or ureas, and plant products, such as cereal meal, tree bark meal, wood meal and nutshell meal, cellulose
15 powders and other solid carriers.

The formulations generally comprise between 0.01 and 95% by weight, preferably between 0.1 and 90% by weight, of the active compound. The active compounds are employed therein in a purity of 90% to 100%, preferably 95% to 100% (according to the
20 NMR spectrum).

Examples for formulations are:

- I. 5 parts by weight of a compound according to the invention are intimately mixed
25 with 95 parts by weight of finely divided kaolin. In this way, a dust comprising 5% by weight of the active compound is obtained.
- II. 30 parts by weight of a compound according to the invention are intimately mixed
30 with a mixture of 92 parts by weight of pulverulent silica gel and 8 parts by weight of liquid paraffin, which had been sprayed onto the surface of this silica gel. In this way, an active compound preparation with good adhesive properties (active compound content 23% by weight) is obtained.
- III. 10 parts by weight of a compound according to the invention are dissolved in a
35 mixture consisting of 90 parts by weight of xylene, 6 parts by weight of the addition product of 8 to 10 mol of ethylene oxide with 1 mol of the N-mono-ethanolamide of oleic acid, 2 parts by weight of the calcium salt of dodecyl-benzenesulfonic acid and 2 parts by weight of the addition product of 40 mol of
40 ethylene oxide with 1 mol of castor oil (active compound content 9% by weight).
- IV. 20 parts by weight of a compound according to the invention are dissolved in a

- 5 mixture consisting of 60 parts by weight of cyclohexanone, 30 parts by weight of isobutanol, 5 parts by weight of the addition product of 7 mol of ethylene oxide with 1 mol of isooctylphenol and 5 parts by weight of the addition product of 40 mol of ethylene oxide with 1 mol of castor oil (active compound content 16% by weight).
- 10 V. 80 parts by weight of a compound according to the invention are thoroughly mixed with 3 parts by weight of the sodium salt of diisobutylphenol- α -sulfonic acid, 10 parts by weight of the sodium salt of a lignosulfonic acid from a sulfite waste liquor and 7 parts by weight of pulverulent silica gel and are ground in a hammer mill (active compound content 80% by weight).
- 15 VI. 90 parts by weight of a compound according to the invention are mixed with 10 parts by weight of N-methyl- α -pyrrolidone and a solution is obtained which is suitable for use in the form of very small drops (active compound content 90% by weight).
- 20 VII. 20 parts by weight of a compound according to the invention are dissolved in a mixture consisting of 40 parts by weight of cyclohexanone, 30 parts by weight of isobutanol, 20 parts by weight of the adduct of 7 mol of ethylene oxide to 1 mol of isooctylphenol and 10 parts by weight of the adduct of 40 mol of ethylene oxide to 1 mol of castor oil. By running the solution into 100 000 parts by weight of water and finely dispersing it therein, an aqueous dispersion is obtained comprising 0.02% by weight of the active compound.
- 25 VIII. 20 parts by weight of a compound according to the invention are thoroughly mixed with 3 parts by weight of the sodium salt of diisobutylphenol- α -sulfonic acid, 17 parts by weight of the sodium salt of a lignosulfonic acid from a sulfite waste liquor and 60 parts by weight of pulverulent silica gel and are ground
- 30 in a hammer mill. A spray emulsion comprising 0.1% by weight of the active compound is obtained by fine dispersion of the mixture in 20 000 parts by weight of water.
- 35 IX. 10 parts by weight of the compound according to the invention are dissolved in 63 parts by weight of cyclohexanone, 27 parts by weight of dispersing agent (for example a mixture of 50 parts by weight of the adduct of 7 mol of ethylene oxide to 1 mol of isooctylphenol and 50 parts by weight of the adduct of 40 mol of ethylene oxide to 1 mol of castor oil). The stock solution is then diluted to the
- 40 desired concentration, for example a concentration in the range from 1 to 100 ppm, by distribution in water.

The active compounds can be used as such, in the form of their formulations or of the application forms prepared therefrom, e.g. in the form of directly sprayable solutions, powders, suspensions or dispersions, emulsions, oil dispersions, pastes, dusts, compositions for broadcasting or granules, by spraying, atomizing, dusting, broad-
5 casting or watering. The application forms depend entirely on the intended uses; they should in any case guarantee the finest possible dispersion of the active compounds according to the invention.

Aqueous application forms can be prepared from emulsion concentrates, pastes or
10 wettable powders (spray powders, oil dispersions) by addition of water. To prepare emulsions, pastes or oil dispersions, the substances can be homogenized in water, as such or dissolved in an oil or solvent, by means of wetting agents, tackifiers, dispersants or emulsifiers. However, concentrates comprising active substance, wetting agent, tackifier, dispersant or emulsifier and possibly solvent or oil can also be
15 prepared, which concentrates are suitable for dilution with water.

The concentrations of active compound in the ready-for-use preparations can be varied within relatively wide ranges. In general, they are between 0.0001 and 10%. Often even small amounts of active compound I are sufficient in the ready-to use preparation,
20 for example 2 to 200 ppm. Ready-to-use preparations with concentrations of active compound in the range from 0.01 to 1% are also preferred.

The active compounds can also be used with great success in the ultra low volume (ULV) process, it being possible to apply formulations with more than 95% by weight of
25 active compound or even the active compound without additives.

Oils of various types, herbicides, fungicides, other pesticides and bactericides can be added to the active compounds, if need be also not until immediately before use (tank mix). These agents can be added to the compositions according to the invention in a
30 weight ratio of 1:10 to 10:1.

The compositions according to the invention can, in the application form as fungicides, also be present together with other active compounds, e.g. with herbicides, insecticides, growth regulators, fungicides or also with fertilizers. On mixing the
35 compounds I or the compositions comprising them in the application form as fungicides with other fungicides, in many cases an expansion of the fungicidal spectrum of activity is obtained.

The following list of fungicides, with which the compounds according to the invention
40 can be used in conjunction, is intended to illustrate the possible combinations but does not limit them:

- 5 sulfur, dithiocarbamates and their derivatives, such as iron(III) dimethyl-dithiocarbamate, zinc dimethyldithiocarbamate, zinc ethylenebisdithiocarbamate, manganese ethylenebisdithiocarbamate, manganese zinc ethylenediamine-bisdithiocarbamate, tetramethylthiuram disulfide, ammonia complex of zinc (N,N'-ethylenebisdithiocarbamate), ammonia complex of zinc (N,N'-propylene-bisdithiocarbamate), zinc (N,N'-propylenebisdithiocarbamate) or N,N'-polypropylenebis(thiocarbamoyl)disulfide;
- 10 • nitro derivatives, such as dinitro(1-methylheptyl)phenyl crotonate, 2-sec-butyl-4,6-dinitrophenyl 3,3-dimethylacrylate, 2-sec-butyl-4,6-dinitrophenyl isopropyl carbonate or diisopropyl 5-nitroisophthalate;
- 15 • heterocyclic substances, such as 2-heptadecyl-2-imidazoline acetate, 2,4-dichloro-6-(o-chloroanilino)-s-triazine, O,O-diethyl phthalimidophosphonothioate, 5-amino-1-[bis(dimethylamino)phosphinyl]-3-phenyl-1,2,4-triazole, 2,3-dicyano-1,4-dithioanthraquinone, 2-thio-1,3-dithiolo[4,5-b]quinoxaline, methyl 1-(butylcarbamoyl)-2-benzimidazolecarbamate, 2-(methoxycarbonylamino)benzimidazole, 2-(2-furyl)benzimidazole, 2-(4-thiazolyl)benzimidazole, N-(1,1,2,2-tetrachloroethylthio)tetrahydrophthalimide, N-(trichloromethylthio)tetrahydrophthalimide or N-(trichloromethylthio)phthalimide,
- 20 • N-dichlorofluoromethylthio-N',N'-dimethyl-N-phenylsulfuric acid diamide, 5-ethoxy-3-trichloromethyl-1,2,3-thiadiazole, 2-thiocyanatomethylthiobenzothiazole, 1,4-dichloro-2,5-dimethoxybenzene, 4-(2-chlorophenylhydrazono)-3-methyl-5-isoxazolone, 2-thiopyridine 1-oxide, 8-hydroxyquinoline or its copper salt,

25 2,3-dihydro-5-carboxanilido-6-methyl-1,4-oxathiin, 2,3-dihydro-5-carboxanilido-6-methyl-1,4-oxathiin 4,4-dioxide, 2-methyl-5,6-dihydro-4H-pyran-3-carboxanilide, 2-methylfuran-3-carboxanilide, 2,5-dimethylfuran-3-carboxanilide, 2,4,5-trimethylfuran-3-carboxanilide, N-cyclohexyl-2,5-dimethylfuran-3-carboxamide, N-cyclohexyl-N-methoxy-2,5-dimethylfuran-3-carboxamide, 2-methylbenzanilide,

30 2-iodobenzanilide, N-formyl-N-morpholine 2,2,2-trichloroethyl acetal, piperazine-1,4-diylbis-1-(2,2,2-trichloroethyl)formamide, 1-(3,4-dichloroanilino)-1-formyl-amino-2,2,2-trichloroethane, 2,6-dimethyl-N-tridecylmorpholine or its salts, 2,6-dimethyl-N-cyclododecylmorpholine or its salts, N-[3-(p-(tert-butyl)phenyl)-2-methylpropyl]-cis-2,6-dimethylmorpholine, N-[3-(p-(tert-butyl)phenyl)-2-methylpropyl]piperidine, 1-[2-(2,4-dichlorophenyl)-4-ethyl-1,3-dioxolan-2-ylethyl]-1H-1,2,4-triazole, 1-[2-(2,4-dichlorophenyl)-4-(n-propyl)-1,3-dioxolan-2-yl-ethyl]-1H-1,2,4-triazole, N-(n-propyl)-N-(2,4,6-trichlorophenoxyethyl)-N'-imidazolylurea, 1-(4-chlorophenoxy)-3,3-dimethyl-1-(1H-1,2,4-triazol-1-yl)-2-butanone, 1-(4-chlorophenoxy)-3,3-dimethyl-1-(1H-1,2,4-triazol-1-yl)-2-butanol,

40 (2RS,3RS)-1-[3-(2-chlorophenyl)-2-(4-fluorophenyl)oxiran-2-ylmethyl]-1H-1,2,4-triazole, α -(2-chlorophenyl)- α -(4-chlorophenyl)-5-pyrimidine methanol,

- 5-butyl-2-dimethylamino-4-hydroxy-6-methylpyrimidine, bis(p-chlorophenyl)-3-pyridinemethanol, 1,2-bis(3-ethoxycarbonyl-2-thioureido)benzene or 1,2-bis(3-methoxycarbonyl-2-thioureido)benzene,
- strobilurins, such as methyl E-methoxyimino[α -(o-tolyloxy)-o-tolyl]acetate, methyl E-2-[2-[6-(2-cyanophenoxy)pyrimidin-4-yloxy]phenyl]-3-methoxyacrylate, methyl E-methoxyimino-[α -(2-phenoxyphenyl)] acetamide, methyl E-methoxyimino-[α -(2,5-dimethylphenoxy)-o-tolyl]acetamide,
 - anilinopyrimidines, such as N-(4,6-dimethylpyrimidin-2-yl)aniline, N-[4-methyl-6-(1-propynyl)pyrimidin-2-yl]aniline or N-[4-methyl-6-cyclopropylpyrimidin-2-yl]-aniline,
 - phenylpyrroles, such as 4-(2,2-difluoro-1,3-benzodioxol-4-yl)pyrrole-3-carbonitrile,
 - cinnamamides, such as 3-(4-chlorophenyl)-3-(3,4-dimethoxyphenyl)acryloyl-morpholine,
 - and various fungicides, such as dodecylguanidine acetate, 3-[3-(3,5-dimethyl-2-oxycyclohexyl)-2-hydroxyethyl]glutarimide, hexachlorobenzene, methyl N-(2,6-dimethylphenyl)-N-(2-furoyl)-DL-alaninate, N-(2,6-dimethylphenyl)-N-(2'-methoxyacetyl)-DL-alanine methyl ester, N-(2,6-dimethylphenyl)-N-chloroacetyl-D,L-2-aminobutyrolactone, N-(2,6-dimethylphenyl)-N-(phenylacetyl)-DL-alanine methyl ester, 5-methyl-5-vinyl-3-(3,5-dichlorophenyl)-2,4-dioxo-1,3-oxazolidine, 3-(3,5-dichlorophenyl)-5-methyl-5-methoxymethyl-1,3-oxazolidine-2,4-dione, 3-(3,5-dichlorophenyl)-1-isopropylcarbamoylhydantoin, N-(3,5-dichlorophenyl)-1,2-dimethylcyclopropane-1,2-dicarboximide, 2-cyano-N-(ethylaminocarbonyl)-2-[methoxyimino]acetamide, 1-[2-(2,4-dichlorophenyl)-pentyl]-1H-1,2,4-triazole, 2,4-difluoro- α -(1H-1,2,4-triazolyl-1-methyl)benzhydryl alcohol, N-(3-chloro-2,6-dinitro-4-trifluoromethylphenyl)-5-trifluoromethyl-3-chloro-2-aminopyridine, 1-((bis(4-fluorophenyl)methylsilyl)methyl)-1H-1,2,4-triazole.

Preparation examples:

Example 1: 3-Trifluoromethylpicolinic acid (ortho-cyclohexyl)anilide:

1.1 Methyl 3-trifluoromethylpyridine-2-carboxylate:

66.8 g (0.4 mol) of pyridine-2,3-dicarboxylic acid were initially charged in a 0.5 l autoclave. 120 g (6 mol) of anhydrous hydrogen fluoride were then condensed in, and 138.4 g (1.28 mol) of sulfur tetrafluoride were added under pressure. The mixture was stirred at 60°C for 24 h. The autoclave was vented, 150 ml of methanol were then added and the mixture was subsequently stirred at 80°C under autogenous pressure for 3 h. The autoclave was vented and the contents were then poured into 1000 g of ice-water, the mixture was made alkaline using 40% strength by weight of aqueous potassium hydroxide solution and washed

three times with 350 ml of methylene chloride, the methylene chloride phase was separated off and washed once with 300 ml of water and the organic phase was then dried with magnesium sulfate. This rate of removal of the solvent under reduced pressure gave a residue which was distilled under reduced pressure over a 15 cm Vigreux column. This gave 61.9 g of the title compound as a fraction at 98-99°C (10 mbar) having a purity according to GC of 88.7%.

¹H-NMR (DMSO-d₆): 3.05 ppm (s, 3H, OMe); 7.85 ppm (m, 1H, pyridine-H); 8.40 ppm (d, 1H, pyridine-H); 8.95 ppm (d, 1H, pyridine-H).

1.2 3-Trifluoromethylpyridine-2-carboxylic acid potassium salt:

20 g (0.086 mol) of 88.7% pure methyl 3-trifluoromethylpyridine-2-carboxylate and 300 ml of 20% by weight strength aqueous potassium hydroxide solution were heated at reflux for 6 h. The mixture was then adjusted to pH = 5 using aqueous hydrochloric acid and concentrated to dryness. The residue was twice treated with 400 ml of boiling methanol. The methanol extracts were collected and, under reduce pressure, evaporated to dryness. This gave 20.2 g of the title compound as the potassium salt.

¹H-NMR (DMSO-d₆): 7.3 ppm (m, 1H, pyridine-H); 7.95 ppm (d, 1H, pyridine-H); 8.6 ppm (d, 1H, pyridine-H).

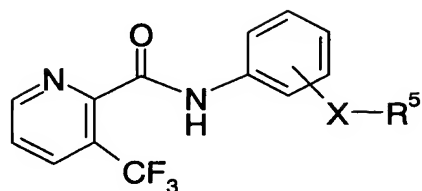
1.3 3-Trifluoromethylpicolinic acid (ortho-cyclohexyl)anilide:

600 mg of ortho-cyclohexylaniline and 1.04 g of triethylamine were dissolved in 20 ml of dichloromethane. 0.72 g of 3-trifluoromethylpicolinoyl chloride was added, and the mixture was stirred at room temperature for 14 h. The reaction mixture was then washed in each case once with aqueous sodium bicarbonate solution and dilute hydrochloric acid and twice with water. The organic phase was dried over sodium sulfate and concentrated under reduced pressure.

Chromatographic purification of the residue on silica gel using a mixture of cyclohexane and methyl tert-butyl ether gave 740 mg of the target compound of melting point 111-116°C.

The compounds of Examples 2 to 22 (compounds of the formula I') were prepared in an analogous manner. Their physicochemical data are compiled in Table 7:

Table 7:



(I')

Ex.	X-R ⁵	Position	Melting point	Spectroscopic data
1	cyclohexyl	ortho	111-116°C	
2	-CH(CH ₃)-CH ₂ -CH ₃	ortho	oil	IR [cm ⁻¹]: 1703, 1587, 1576, 1521, 1452, 1433, 1314, 1298, 1157, 1129, 1067, 1034, 816, 808, 757.
3	n-pentyl	ortho	68-73°C	
4	4-chlorophenyl	ortho	132-136°C	
5	4-fluorophenyl	ortho	114-117°C	
6	1,1,2,2-tetrafluoroethoxy	ortho	83-86°C	
7	cyclopentyl	ortho	117-122°C	
8	1,1,2,2-tetrafluoroethoxy	meta	89-90°C	
9	-OC(O)NH-tert-butyl	meta	129-131°C	
10	n-hexyloxy	meta	59-60°C	
11	cyclopentyloxy	meta	oil	IR [cm ⁻¹]: 1697, 1607, 1590, 1577, 1531, 1493, 1448, 1434, 1418, 1315, 1188, 1165, 1127, 1034.
12	2-methylphenyl	ortho	89-91°C	
13	4-acetylphenyl	ortho	160-162°C	
14	4-(1-methoxyiminoethyl)-phenyl	ortho	105-107°C	
15	4-(1-ethoxyiminoethyl)-phenyl	ortho	105-107°C	
16	4-(1-isopropoxyiminoethyl)phenyl	ortho	101-103°C	
17	-CH ₂ -CH(CH ₃) ₂	ortho	73-75°C	
18	2,2,2-trifluoroethoxy	ortho	145-147°C	
19	2,2,3,3,3-pentafluoropropoxy	ortho	99-100°C	
20	cyclohexen-3-yl	ortho	87-89°C	
21	phenyl	ortho	86-87°C	
22	4-isopropylphenyl	ortho	89-91°C	

5 Use examples:

The active compounds were prepared as a stock solution comprising 0.25% by weight of active compound in acetone or dimethyl sulfoxide (DMSO). 1% by weight of the emulsifier Uniperol® EL (wetting agent having emulsifying and dispersing action based on ethoxylated alkylphenols) was added to this solution, and the mixture was diluted

with water to the desired concentration.

Activity against mildew of cucumber leaves caused by *Sphaerotheca fuliginea*,
protective application

5

Leaves of potted cucumber seedlings of the cultivar "Chinese Snake" were, in the cotyledon stage, sprayed to runoff point with an aqueous suspension having the concentration of active compound stated below. 20 h after the spray coating had dried on, the plants were inoculated with an aqueous spore suspension of mildew of cucumber (*Sphaerotheca fuliginea*). The test plants were then cultivated in a greenhouse at temperatures between 20 and 24°C and at 60 to 80% relative atmospheric humidity for 7 days. The extent of the mildew development was then determined visually in % infection of the cotyledon area. The results are compiled in Table 8.

15

Table 8:

Compound of Example	Infection of the leaf area [%] at a concentration of active compound of 250 ppm
1	0
2	10
3	0
4	0
5	0
6	0
7	0
8	1
12	0
14	0
15	0
16	0
17	0
20	1
21	0
22	0
untreated	90

Protective activity against *Puccinia recondita* on wheat (brown rust of wheat)

20

Leaves of potted wheat seedlings of the cultivar "Kanzler" were dusted to runoff point with an aqueous suspension having the concentration of active compound stated

- below. The next day, the treated plants were dusted with spores of brown rust of wheat (*Puccinia recondita*). The plants were then placed in a chamber of high atmospheric humidity (90 to 95%) and at 20 to 22°C for 24 hours. During this time, the spores germinated and the germinal tubes penetrated into the leaf tissue. The next day, the
- 5 test plants were returned in the greenhouse and, at temperatures between 20 and 22°C and at 65 to 70% relative atmospheric humidity, cultivated for another 7 days. The extent of the rust fungus development on the leaves was then determined visually. The results are compiled in Table 9.

10 Table 9:

Compound of Example	Infection of the leaf area [%] at a concentration of active compound of 250 ppm
4	15
10	15
11	10
14	15
16	10
untreated	90